

12/10/03

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* * * * * Welcome to STN International * * * * *

NEWS	1		Web Page URLs for STN Seminar Schedule - N. America
NEWS	2		"Ask CAS" for self-help around the clock
NEWS	3	SEP 09	CA/CAPLUS records now contain indexing from 1907 to the present
NEWS	4	AUG 05	New pricing for EUROPATFULL and PCTFULL effective August 1, 2003
NEWS	5	AUG 13	Field Availability (/FA) field enhanced in BEILSTEIN
NEWS	6	AUG 18	Data available for download as a PDF in RDISCLOSURE
NEWS	7	AUG 18	Simultaneous left and right truncation added to PASCAL
NEWS	8	AUG 18	FROSTI and KOSMET enhanced with Simultaneous Left and Right Truncation
NEWS	9	AUG 18	Simultaneous left and right truncation added to ANABSTR
NEWS	10	SEP 22	DIPPR file reloaded
NEWS	11	DEC 08	INPADOC: Legal Status data reloaded
NEWS	12	SEP 29	DISSABS now available on STN
NEWS	13	OCT 10	PCTFULL: Two new display fields added
NEWS	14	OCT 21	BIOSIS file reloaded and enhanced
NEWS	15	OCT 28	BIOSIS file segment of TOXCENTER reloaded and enhanced
NEWS	16	NOV 24	MSDS-CCOHS file reloaded
NEWS	17	DEC 08	CABA reloaded with left truncation
NEWS	18	DEC 08	IMS file names changed
NEWS	19	DEC 09	Experimental property data collected by CAS now available in REGISTRY
NEWS	20	DEC 09	STN Entry Date available for display in REGISTRY and CA/CAPLUS
NEWS EXPRESS		NOVEMBER 14	CURRENT WINDOWS VERSION IS V6.01c, CURRENT MACINTOSH VERSION IS V6.0b(ENG) AND V6.0Jb(JP), AND CURRENT DISCOVER FILE IS DATED 23 SEPTEMBER 2003
NEWS HOURS			STN Operating Hours Plus Help Desk Availability
NEWS INTER			General Internet Information
NEWS LOGIN			Welcome Banner and News Items
NEWS PHONE			Direct Dial and Telecommunication Network Access to STN
NEWS WWW			CAS World Wide Web Site (general information)

Enter NEWS followed by the item number or name to see news on that specific topic.

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* * * * * STN Columbus * * * * *

FILE 'HOME' ENTERED AT 16:44:59 ON 10 DEC 2003

=> file registry

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

0.21

0.21

FILE 'REGISTRY' ENTERED AT 16:45:06 ON 10 DEC 2003

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Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES: 9 DEC 2003 HIGHEST RN 625365-36-8

DICTIONARY FILE UPDATES: 9 DEC 2003 HIGHEST RN 625365-36-8

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2003

Please note that search-term pricing does apply when
conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more
information enter HELP PROP at an arrow prompt in the file or refer
to the file summary sheet on the web at:
<http://www.cas.org/ONLINE/DBSS/registryss.html>

=>

Uploading 9997323d.str

L1 STRUCTURE UPLOADED

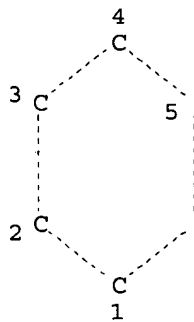
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L1 HAS NO ANSWERS

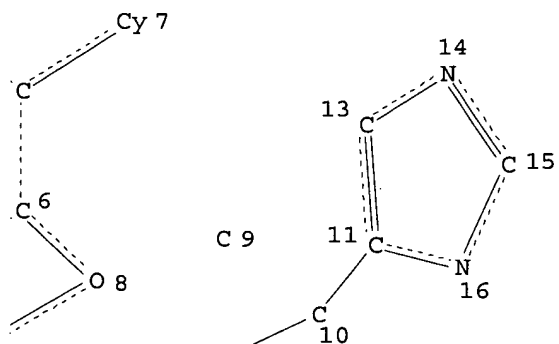
L1 STR

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Page 1-A



Page 1-B

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G20
12

Page 2-A

REP G20=(0-10) 9-8 9-10

NODE ATTRIBUTES:

NSPEC	IS R	AT	1
NSPEC	IS R	AT	2
NSPEC	IS R	AT	3
NSPEC	IS R	AT	4
NSPEC	IS R	AT	5
NSPEC	IS R	AT	6
NSPEC	IS C	AT	7
NSPEC	IS C	AT	8
NSPEC	IS C	AT	9
NSPEC	IS C	AT	10
NSPEC	IS R	AT	11
NSPEC	IS C	AT	12
NSPEC	IS R	AT	13
NSPEC	IS R	AT	14
NSPEC	IS R	AT	15
NSPEC	IS R	AT	16

DEFAULT MLEVEL IS ATOM

MLEVEL IS CLASS AT 8 9 10

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 16

STEREO ATTRIBUTES: NONE

=> s l1

SAMPLE SEARCH INITIATED 16:45:45 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 316 TO ITERATE

100.0% PROCESSED 316 ITERATIONS

2 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 5254 TO 7386

PROJECTED ANSWERS: 2 TO 124

L2 2 SEA SSS SAM L1

=> s l1 ful

FULL SEARCH INITIATED 16:45:50 FILE 'REGISTRY'

10429107

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FULL SCREEN SEARCH COMPLETED - 5871 TO ITERATE

100.0% PROCESSED 5871 ITERATIONS
SEARCH TIME: 00.00.01

37 ANSWERS

L3 37 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

148.15

148.36

FILE 'CAPLUS' ENTERED AT 16:45:55 ON 10 DEC 2003

USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

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FILE COVERS 1907 - 10 Dec 2003 VOL 139 ISS 24

FILE LAST UPDATED: 9 Dec 2003 (20031209/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l3

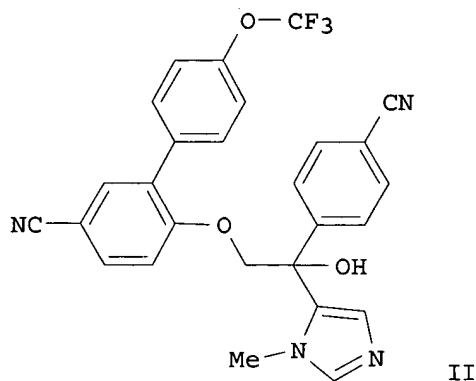
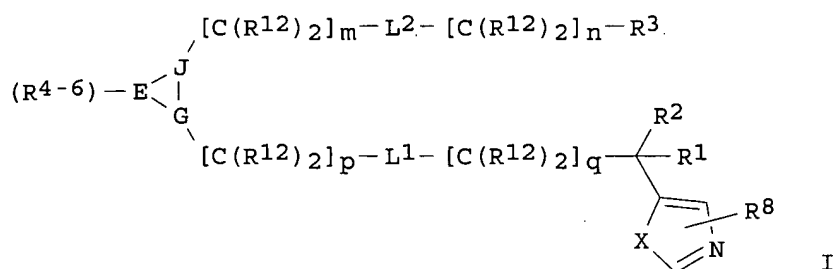
L4 8 L3

=> d abs bib fhitr 1-8

L4 ANSWER 1 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN

GI

12/10/03



AB Substituted imidazoles and thiazoles I and their therapeutically acceptable salts are useful for inhibiting farnesyltransferase [wherein E = 5-, 6-, or 7-membered (non)arom. carbocyclic ring with 0-3 atoms optionally replaced by N; J, G = C, N, with proviso that when 1 of J and G = N, the other = C; L¹, L² = bond, C2-alkenylene, C2-alkynylene, O, NR⁹, C(O), S, S(O), SO₂, SO₂NR⁹, NR⁹SO₂, C(O)NR⁹, NR⁹C(O), and CO₂; X = S, NR⁷; R¹ = aryl, arylalkyl, heterocyclyl, heterocyclalkyl; R² = H, alkoxy, alkyl, amino, aminoalkyl, cyano, cyanoalkyl, cycloalkyl, cycloalkylalkyl, halo, haloalkyl, heterocyclyl, heterocyclalkyl, OH, hydroxyalkyl; R³ = aryl, heterocyclyl, cycloalkyl; R⁴⁻⁶ = H, NR⁹C(O), C(O)NR⁹, alkanoyl, alkenyl, alkoxy, alkoxyalkyl, alkyl, alkylsulfonyl, alkynyl, amido, amino, aminoalkyl, aminosulfonyl, aryl, arylalkyl, aryloxy, arylsulfonyl, N₃, CO₂H, cyano, cyanoalkyl, cycloalkyl, cycloalkylalkyl, halo, haloalkoxy, haloalkyl, heterocyclyl, heterocyclalkyl, OH, hydroxyalkyl, NO₂, nitroalkyl, oxo, thio(oxo); R⁷ = H, alkyl, aryl, cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocyclalkyl, and trialkylsilyl; R⁸ = undefined; R⁹ = H, alkoxyalkyl, alkyl, amidoalkyl, aminoalkyl, aryl, arylalkyl, cycloalkyl, cycloalkylalkyl, carboxyalkyl, heterocyclyl, heterocyclalkyl, hydroxyalkyl, and N-protecting group; R¹² = H, alkoxy, alkyl, amino, halo, OH; m, n, p, q = 0, 1, 2, 3 or 4]. Also disclosed are farnesyltransferase-inhibiting compns. and methods of inhibiting farnesyltransferase in a patient. Over 90 compds. were prepd., claimed, and tested, and numerous intermediates were prepd. For instance, Et (4-cyanophenyl)oxoacetate was transesterified with (1R,2S,5R)-(-)-menthol, and the resultant keto ester was stereoselectively arylated at carbonyl by the iodozinc deriv. of 5-iodo-1-methyl-1H-imidazole with 97.4% diastereomeric excess. Menthol was cleaved by redn. of the ester with LiBH₄, and the obtained diol (single enantiomer) underwent aryl fluoride etherification of the primary alc. with 4-fluoro-3-bromobenzonitrile, followed by Pd-catalyzed coupling of the bromide with 4-CF₃OC₆H₄B(OH)₂, to give title compd. (S)-II. This compd. inhibited rat brain

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farnesyltransferase in vitro with 90% inhibition at 10^{-9} M, vs. 97% by (.-.-)-II at 10^{-8} M.

AN 2003:355844 CAPLUS

DN 138:368890

TI Imidazole and thiazole derivatives of benzonitrile as farnesyltransferase inhibitors, and their preparation and use for the treatment of cancer.

IN Claiborne, Akiyo K.; Gwaltney, Stephen L.; Hasvold, Lisa A.; Li, Qun; Li, Tongmei; Lin, Nan-Horng; Mantei, Robert A.; Rockway, Todd W.; Sham, Hing L.; Sullivan, Gerard M.; Tong, Yunsong; Wang, Gary; Wang, Le; Wang, Xilu; Wang, Wei-Bo

PA USA

SO U.S. Pat. Appl. Publ., 48 pp.

CODEN: USXXCO

DT Patent

LA English

FAN.CNT 1

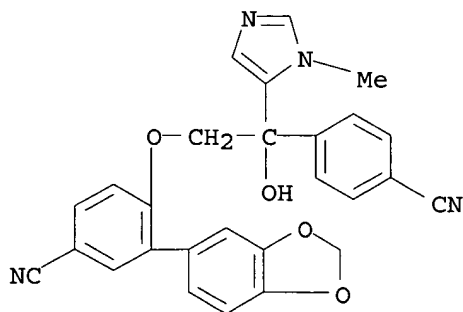
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2003087940	A1	20030508	US 2001-997323	20011130
PRAI	US 2000-250207P	P	20001130		
	US 2001-307798P	P	20010725		

OS MARPAT 138:368890

IT **450837-81-7P**, 3-(1,3-Benzodioxol-5-yl)-4-[2-(4-cyanophenyl)-2-hydroxy-2-(1-methyl-1H-imidazol-5-yl)ethoxy]benzonitrile
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(drug candidate; prepn. of imidazole and thiazole derivs. of benzonitrile as farnesyltransferase inhibitors for treatment of cancer)

RN 450837-81-7 CAPLUS

CN Benzonitrile, 3-(1,3-benzodioxol-5-yl)-4-[2-(4-cyanophenyl)-2-hydroxy-2-(1-methyl-1H-imidazol-5-yl)ethoxy]- (9CI) (CA INDEX NAME)



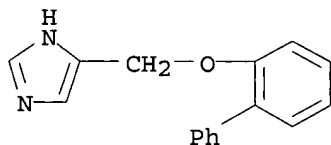
L4 ANSWER 2 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN

AB Literature reports suggest that disruption of an interhelical salt bridge is crit. for α_1 -adrenoceptor activation, and the basic amine found in adrenergic receptor ligands is responsible for the disruption. Novel 4-(anilinomethyl)imidazoles and 4-(phenoxymethyl)imidazoles are agonists of the cloned human α_1 -adrenoceptors in vitro, and potent, selective α_1A -adrenoceptor agonists have been identified in this series. These imidazoles demonstrate similar potencies and α_1 -subtype selectivities as the corresponding 2-substituted imidazolines. The extremely close SAR suggests that, in spite of the large difference in basicity, these imidazoles and imidazolines may establish the same

10429107

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interactions to activate .alpha.1-adrenoceptors.
AN 2002:846218 CAPLUS
DN 138:378557
TI .alpha.1-Adrenoceptor activation: a comparison of 4-
(anilinomethyl)imidazoles and 4-(phenoxymethyl)imidazoles to related
2-imidazolines
AU Hodson, Stephen J.; Bigham, Eric C.; Garrison, Deanna T.; Gobel, Michael
J.; Irving, Paul E.; Liacos, James A.; Navas, Frank; Saussy, David L.;
Sherman, Bryan W.; Speake, Jason D.; Bishop, Michael J.
CS GlaxoSmithKline Research and Development, Research Triangle Park, NC,
27709, USA
SO Bioorganic & Medicinal Chemistry Letters (2002), 12(23), 3449-3452
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier Science Ltd.
DT Journal
LA English
OS CASREACT 138:378557
IT 527696-96-4P
RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic
preparation); BIOL (Biological study); PREP (Preparation)
(.alpha.1-adrenoceptor activation: comparison of anilinomethyl and
phenoxymethyl imidazoles to related 2-imidazolines)
RN 527696-96-4 CAPLUS
CN 1H-Imidazole, 4-[[[1,1'-biphenyl]-2-yloxy)methyl]- (9CI) (CA INDEX NAME)

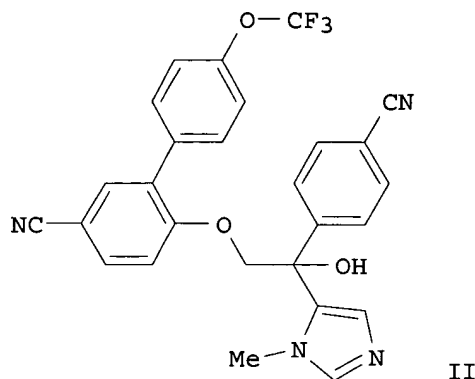
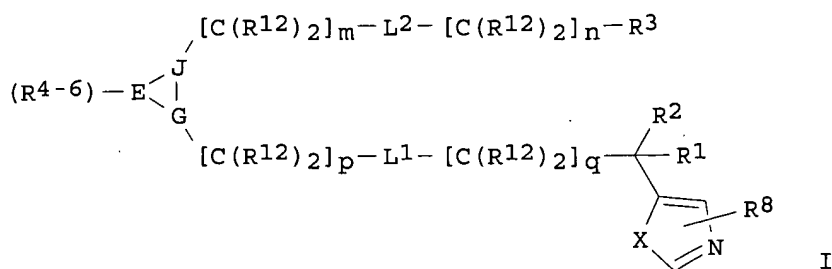


RE.CNT 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN
GI

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AB Substituted imidazoles and thiazoles I and their therapeutically acceptable salts are useful for inhibiting farnesyltransferase [wherein E = 5-, 6-, or 7-membered (non)arom. carbocyclic ring with 0-3 atoms optionally replaced by N; J, G = C, N, with proviso that when 1 of J and G = N, the other = C; L¹, L² = bond, C2-alkenylene, C2-alkynylene, O, NR⁹, C(O), S, S(O), SO₂, SO₂NR⁹, NR⁹SO₂, C(O)NR⁹, NR⁹C(O), and CO₂; X = S, NR⁷; R¹ = aryl, arylalkyl, heterocyclyl, heterocyclylalkyl; R² = H, alkoxy, alkyl, amino, aminoalkyl, cyano, cyanoalkyl, cycloalkyl, cycloalkylalkyl, halo, haloalkyl, heterocyclyl, heterocyclylalkyl, OH, hydroxyalkyl; R³ = aryl, heterocyclyl, cycloalkyl; R⁴⁻⁶ = H, NR⁹C(O), C(O)NR⁹, alkanoyl, alkenyl, alkoxy, alkoxyalkyl, alkyl, alkylsulfonyl, alkynyl, amido, amino, aminoalkyl, aminosulfonyl, aryl, arylalkyl, aryloxy, arylsulfonyl, N₃, CO₂H, cyano, cyanoalkyl, cycloalkyl, cycloalkylalkyl, halo, haloalkoxy, haloalkyl, heterocyclyl, heterocyclylalkyl, OH, hydroxyalkyl, NO₂, nitroalkyl, oxo, thio(oxo); R⁷ = H, alkyl, aryl, cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl, and trialkylsilyl; R⁸ = undefined; R⁹ = H, alkoxyalkyl, alkyl, amidoalkyl, aminoalkyl, aryl, arylalkyl, cycloalkyl, cycloalkylalkyl, carboxyalkyl, heterocyclyl, heterocyclylalkyl, hydroxyalkyl, and N-protecting group; R¹² = H, alkoxy, alkyl, amino, halo, OH; m, n, p, q = 0, 1, 2, 3 or 4]. Also disclosed are farnesyltransferase-inhibiting compns. and methods of inhibiting farnesyltransferase in a patient. Over 90 compds. were prepd., claimed, and tested, and numerous intermediates were prepd. For instance, Et (4-cyanophenyl)oxoacetate was transesterified with (1R,2S,5R)-(-)-menthol, and the resultant keto ester was stereoselectively arylated at carbonyl by the iodozinc deriv. of 5-iodo-1-methyl-1H-imidazole with 97.4% diastereomeric excess. Menthol was cleaved by redn. of the ester with LiBH₄, and the obtained diol (single enantiomer) underwent aryl fluoride etherification of the primary alc. with 4-fluoro-3-bromobenzonitrile, followed by Pd-catalyzed coupling of the bromide with 4-CF₃OC₆H₄B(OH)₂, to give title compd. (S)-II. This compd. inhibited rat brain

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farnesyltransferase in vitro with 90% inhibition at 10⁻⁹ M, vs. 97% by (.-.-)-II at 10⁻⁸ M.

AN 2002:638284 CAPLUS

DN 137:185485

TI Imidazole and thiazole derivatives of benzonitrile as farnesyltransferase inhibitors, and their preparation and use for the treatment of cancer.

IN Claiborne, Akiyo K.; Gwaltney, Stephen L.; Hasvold, Lisa A.; Li, Qun; Li, Tongmei; Lin, Nan-horng; Mantei, Robert A.; Rockway, Todd W.; Sham, Hing L.; Sullivan, Gerard M.; Tong, Yunsong; Wang, Gary; Wang, Le; Wang, Xilu; Wang, Wei-bo

PA USA

SO U.S. Pat. Appl. Publ., 48 pp., Cont.-in-part of U.S. Ser. No. 727,230, abandoned.

CODEN: USXXCO

DT Patent

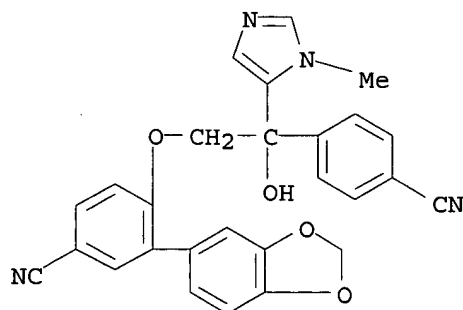
LA English

FAN.CNT 1

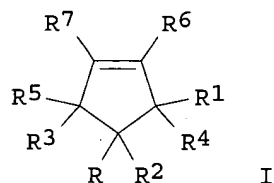
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	WO 2002074747	A1	20020926	WO 2001-US43168	20011130
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	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
	EP 1339695	A1	20030903	EP 2001-273519	20011130
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
	NO 2003002471	A	20030725	NO 2003-2471	20030530
PRAI	US 2000-727230	B2	20001130		
	US 2001-912677	A	20010725		
	WO 2001-US43168	W	20011130		
OS	MARPAT 137:185485				
IT	450837-81-7P				
	3-(1,3-Benzodioxol-5-yl)-4-[2-(4-cyanophenyl)-2-hydroxy-2-(1-methyl-1H-imidazol-5-yl)ethoxy]benzonitrile				
	RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)				
	(drug candidate; prepn. of imidazole and thiazole derivs. of benzonitrile as farnesyltransferase inhibitors for treatment of cancer)				
RN	450837-81-7	CAPLUS			
CN	Benzonitrile, 3-(1,3-benzodioxol-5-yl)-4-[2-(4-cyanophenyl)-2-hydroxy-2-(1-methyl-1H-imidazol-5-yl)ethoxy]- (9CI) (CA INDEX NAME)				

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L4 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN
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AB Title compds. [I; R = CHO, CONH₂, alkoxy carbonyl, etc.; R₁, R₂, R₃ = H, OH, alkyl; R₁R₂, R₂R₃ = bond; R₄, R₅ = (un)substituted Ph, -thienyl, -pyridyl, etc.; R₆R₇ = atoms to complete an (un)substituted heteroarom. ring] were prepd. Thus, (5R,6SR,7SR)-6-carboxy-5-(3,4-methylenedioxyphenyl)-7-(4-methoxyphenyl)cyclopenteno[1,2-b]pyridine (II) was prepd. in 5 steps starting from pyridine-2,3-dicarboxylic anhydride and 4-(MeO)C₆H₄MgBr. Data for biol. activity of II were given.

AN 1998:98047 CAPLUS
DN 128:154014
TI Preparation of fused heteroaromatic cyclopentene derivatives as endothelin antagonists
IN Ishikawa, Kiyofumi; Nagase, Toshio; Mase, Toshiaki; Hayama, Takashi; Ihara, Masaki; Nishikibe, Masaru; Yano, Mitsuo
PA Banyu Pharmaceutical Co., Ltd., Japan
SO U.S., 53 pp., Cont.-in-part of U.S. Ser. No. 296,410, abandoned
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 3

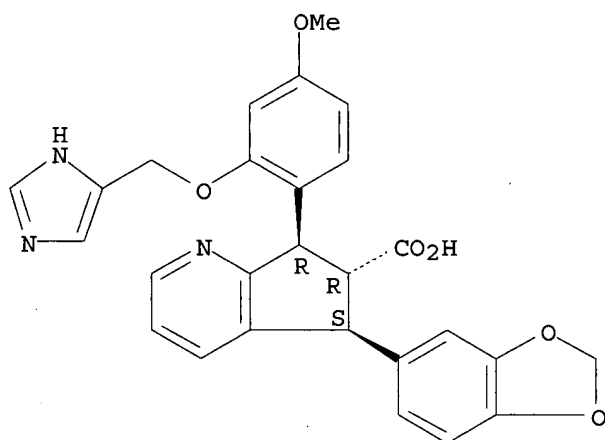
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5714479	A	19980203	US 1996-596143	19960220
	US 5389620	A	19950214	US 1993-165880	19931214
	WO 9505374	A1	19950223	WO 1994-JP1357	19940816
	W: AU, CA, CN, JP, KR, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	CN 1129448	A	19960821	CN 1994-193138	19940816
	CN 1049219	B	20000209		
PRAI	JP 1993-225100	A	19930818		
	JP 1993-281613	A	19931015		
	JP 1993-285677	A	19931021		

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US 1993-165880 A1 19931214
JP 1994-85914 A 19940330
WO 1994-JP1357 W 19940816
US 1994-296410 B2 19940826
OS MARPAT 128:154014
IT 169745-21-5P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of fused heteroarom. cyclopentene derivs. as endothelin antagonists)
RN 169745-21-5 CAPLUS
CN 5H-Cyclopenta[b]pyridine-6-carboxylic acid, 5-(1,3-benzodioxol-5-yl)-6,7-dihydro-7-[2-(1H-imidazol-4-ylmethoxy)-4-methoxyphenyl]-, (5.alpha.,6.beta.,7.alpha.)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN
AB Endothelin antagonists are used for the prevention and/or treatment of heart failure and ventricular dysfunction. Sepn. of (+)-(5S*,6R*,7R*)-2-butyl-6-carboxy-7-[2-(2-carboxypropyl)4-methoxyphenyl]-5-(3,4-methylenedioxyphenyl)cyclopenteno[1,2-b]pyridine (I) from the (-) isomer was accomplished by HPLC. I was tested in an animal heart-failure model.
AN 1997:684286 CAPLUS
DN 127:341796
TI Method of treating heart failure and ventricular dysfunction with endothelin antagonists
IN Lynch, Joseph J., Jr.; Shen, You-Tang
PA Banyu Pharmaceutical Co., Ltd., Japan; Lynch, Joseph J., Jr.; Shen, You-Tang
SO PCT Int. Appl., 103 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9737665	A1	19971016	WO 1997-US3737	19970319

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12/10/03

W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE,
DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC,
LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT,
RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN,
AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB,
GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN,
ML, MR, NE, SN, TD, TG

CA 2249330 AA 19971016 CA 1997-2249330 19970319

AU 9722025 A1 19971029 AU 1997-22025 19970319

AU 723351 B2 20000824

EP 906108 A1 19990407 EP 1997-914955 19970319

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE,
SI, LT, LV, FI, RO

CN 1215335 A 19990428 CN 1997-193645 19970319

BR 9708525 A 19990803 BR 1997-8525 19970319

NZ 331789 A 20000526 NZ 1997-331789 19970319

JP 2000510824 T2 20000822 JP 1997-536194 19970319

JP 3345891 B2 20021118

JP 2002220337 A2 20020809 JP 2001-374870 19970319

NO 9804564 A 19981204 NO 1998-4564 19980930

KR 2000005178 A 20000125 KR 1998-707850 19981001

AU 757071 B2 20030130 AU 2000-71740 20001122

PRAI US 1996-14882P P 19960404

AU 1997-22025 A3 19970319

JP 1997-536194 A3 19970319

WO 1997-US3737 W 19970319

OS MARPAT 127:341796

IT 169745-21-5

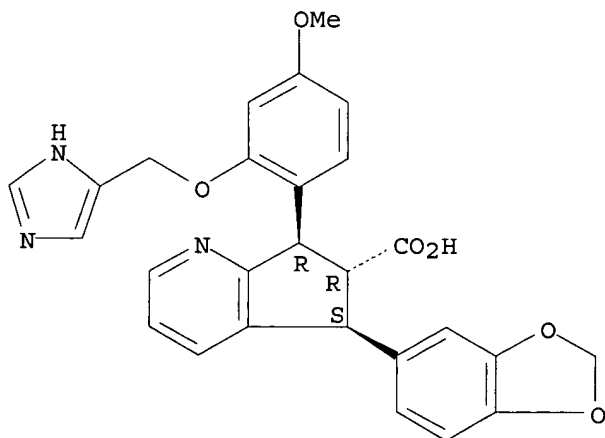
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(endothelin antagonists for treatment of heart failure and ventricular dysfunction)

RN 169745-21-5 CAPLUS

CN 5H-Cyclopenta[b]pyridine-6-carboxylic acid, 5-(1,3-benzodioxol-5-yl)-6,7-dihydro-7-[2-(1H-imidazol-4-ylmethoxy)-4-methoxyphenyl]-, (5.alpha.,6.beta.,7.alpha.)- (9CI) (CA INDEX NAME)

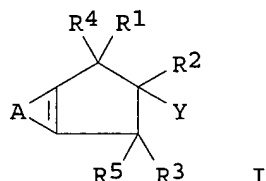
Relative stereochemistry.



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L4 ANSWER 6 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN
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AB Cyclopentene derivs. I (R1, R2, R3 = H, OH, alkyl; R4, R5 = Ph, thienyl, pyridyl, indolyl, benzofuranyl, dihydrobenzofuranyl; A = a group that is combined with the adjacent carbon-carbon double bond to form a 5- or 6-membered heteroarom. ring contg. 1-4 heteroatoms selected from the group consisting of nitrogen, oxygen and sulfur; Y = COR6, SO3H, PO3H2, tetrazol-5-yl, 2-oxo-3H-1,2,3,5-oxathiadiazol-4-yl or 5-oxo-4H-1,2,4-oxadiazol-3-yl; R6 = OH, amino, alkoxy, etc.) and their pharmaceutically acceptable salts, having endothelin-antagonist activity, were prepd. Thus, hydrolysis of 6-ethoxycarbonyl-5-(3,4-methylenedioxyphenyl)-7-(4-methoxyphenyl)cyclopenteno[1,2-b]pyridine, prepd. in 5 steps from pyridine-2,3-dicarboxylic anhydride and 4-methoxyphenylmagnesium bromide, with aq. NaOH in MeOH gave (5RS,6SR,7SR)-6-carboxy-5-(3,4-methylenedioxyphenyl)-7-(4-methoxyphenyl)cyclopenteno[1,2-b]pyridine (II). II inhibited endothelin-1 with receptor from bovine aorta.

AN 1995:892827 CAPLUS

DN 124:8792

TI Fused heteroaromatic cyclopentene derivatives having endothelin-antagonist activity

IN Ishikawa, Kiyofumi; Nagase, Toshio; Mase, Toshiaki; Hayama, Takashi; Ihara, Masaki; Nishikibe, Masaru; Yano, Mitsuo

PA Banyu Pharmaceutical Co., Ltd., Japan

SO PCT Int. Appl., 184 pp.

CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9505374	A1	19950223	WO 1994-JP1357	19940816
	W: AU, CA, CN, JP, KR, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	US 5389620	A	19950214	US 1993-165880	19931214
	AU 9473511	A1	19950314	AU 1994-73511	19940816
	AU 675870	B2	19970220		
	EP 714897	A1	19960605	EP 1994-922382	19940816
	EP 714897	B1	20010214		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
	CN 1129448	A	19960821	CN 1994-193138	19940816
	CN 1049219	B	20000209		
	AT 199151	E	20010215	AT 1994-922382	19940816
	US 5714479	A	19980203	US 1996-596143	19960220
PRAI	JP 1993-225100	A	19930818		

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JP 1993-281613 A 19931015
JP 1993-285677 A 19931021
US 1993-165880 A 19931214
JP 1994-85914 A 19940330
WO 1994-JP1357 W 19940816
US 1994-296410 B2 19940826

OS MARPAT 124:8792

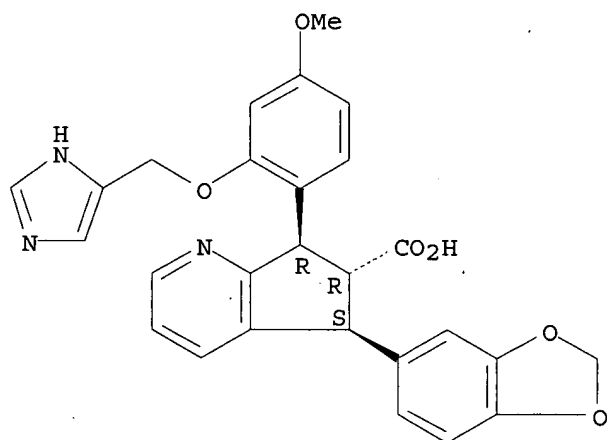
IT 169745-21-5P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of fused heteroarom. cyclopentene derivs. as endothelin antagonists)

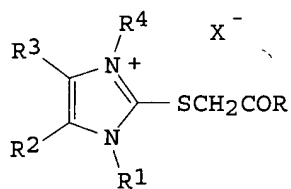
RN 169745-21-5 CAPLUS

CN 5H-Cyclopenta[b]pyridine-6-carboxylic acid, 5-(1,3-benzodioxol-5-yl)-6,7-dihydro-7-[2-(1H-imidazol-4-ylmethoxy)-4-methoxyphenyl]-, (5.alpha.,6.beta.,7.alpha.)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L4 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN
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I

AB Imidazoles and imidazolium salts I [R = H, (substituted) C1-6 alkyl, C3-6 cycloalkyl, (substituted) benzyl, pyridyl, etc.; R₁ = (substituted) C1-6 alkyl, ArCnH₂n; Ar = (substituted) Ph; n = 1-3; R₂ = NO₂, halo, cyano, OH, (substituted) Ph, etc.; R₃ = H or R₃ = R₂ when R₂ = (substituted) Ph, or R₂R₃ = (substituted) C3-10 alkylene or benzo; R₄ = C1-6 alkyl, ArCnH₂n; n,

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Ar = defined above; or R4 = absent; X = neg. ion of pharmaceutically acceptable salt when R4 is present], useful, for example, as antithrombotics (no data), were prepd. Thus, 1-methylbenzimidazole-2-thiol (prepn. given) was dissolved in Me2CO and ClCH2COMe was added. Then, Et3N was added dropwise with stirring and the mixt. was stirred overnight at room temp. to give imidazole I (R = R1 = Me, R2R3 = benzo, R4 is absent). Sixty-nine I had IC50's against transglutaminase Factor XIIIa of < 2 .times. 10-5 M.

AN 1991:471598 CAPLUS

DN 115:71598

TI Preparation of imidazoles as transglutaminase inhibitors

IN Baldwin, John J.; Remy, David C.; Claremon, David A.

PA Merck and Co., Inc., USA

SO Eur. Pat. Appl., 33 pp.

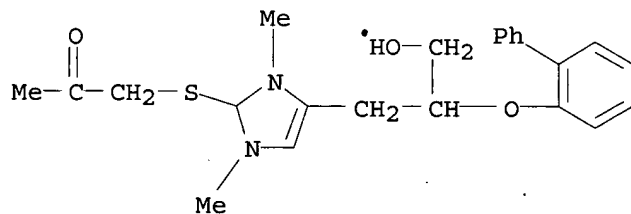
CODEN: EPXXDW

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 411705	A1	19910206	EP 1990-202069	19900727
	R: CH, DE, FR, GB, IT, LI, NL				
	US 5030644	A	19910709	US 1989-386641	19890731
	CA 2022116	AA	19910201	CA 1990-2022116	19900727
	JP 03128359	A2	19910531	JP 1990-203781	19900731
	US 5098707	A	19920324	US 1991-692430	19910429
PRAI	US 1989-386641		19890731		
OS	MARPAT 115:71598				
IT	134218-32-9P				
	RL: SPN (Synthetic preparation); PREP (Preparation)				
	(prepn. of, as transglutaminase inhibitor)				
RN	134218-32-9 CAPLUS				
CN	1H-Imidazolium, 4-[2-([1,1'-biphenyl]-2-yloxy)-3-hydroxypropyl]-1,3-dimethyl-2-[(2-oxopropyl)thio]-, chloride (9CI) (CA INDEX NAME)				



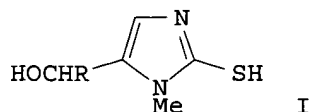
● Cl⁻

*** FRAGMENT DIAGRAM IS INCOMPLETE ***

L4 ANSWER 8 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN
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AB 2-Mercapto-1-methylimidazole is directly metalated with Me₃CLi in THF to give the 5,S-dianion. Reaction of the dianion with a series of electrophiles gives regioselectively 5-substituted-2-mercapto-1-methylimidazoles. Thus, reaction of the dianion with aldehydes gave 42-88% imidazoles I (R = CMe₃, Ph, cyclohexyl, 4-MeC₆H₄, 3-MeC₆H₄, 2-MeC₆H₄, 4-PhC₆H₄, 4-PhOC₆H₄, 2-PhOC₆H₄).

AN 1991:42649 CAPLUS

DN 114:42649

TI Preparation of 5-substituted 2-mercapto-1-methylimidazoles. Direct metalation of 2-mercapto-1-methylimidazole

AU Phillips, Brian T.; Claremon, David A.; Varga, Sandor L.

CS Dep. Med. Chem., Merck Sharp and Dohme Res. Lab., West Point, PA, 19486, USA

SO Synthesis (1990), (9), 761-3

CODEN: SYNTBF; ISSN: 0039-7881

DT Journal

LA English

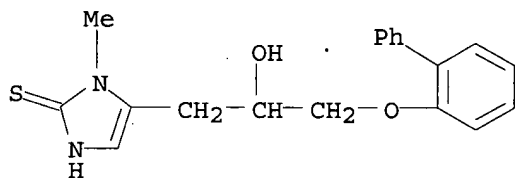
OS CASREACT 114:42649

IT **131470-65-0P**

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)

RN 131470-65-0 CAPLUS

CN 2H-Imidazole-2-thione, 5-[3-([1,1'-biphenyl]-2-yloxy)-2-hydroxypropyl]-1,3-dihydro-1-methyl- (9CI) (CA INDEX NAME)



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